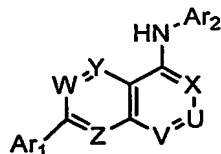


What is claimed is:

1. A compound of the formula:



or a pharmaceutically acceptable form thereof, wherein:

V, X, W, Y and Z are each independently N or CR₁, with the proviso that at least one of V and X is N;

U is N or CR₂, with the proviso that if V and X are N, then U is CR₂;

R₁ is independently selected at each occurrence from hydrogen, halogen, hydroxy, cyano, amino, -COOH, C₁-C₆alkyl, haloC₁-C₆alkyl, C₁-C₆alkoxy, C₁-C₆alkoxycarbonyl, haloC₁-C₆alkoxy and mono- and di-(C₁-C₆alkyl)amino;

R₂ is:

(i) hydrogen, halogen, cyano or nitro; or

(ii) a group of the formula -R_c-M-A-R_y, wherein:

R_c is C₀-C₃alkyl, C₂-C₃alkenyl or C₂-C₃alkynyl, or is joined to R_y or R_z to form a 4- to 10-membered carbocycle or heterocycle that is substituted with from 0 to 2 substituents independently selected from R_b;

M is a bond, O, S, SO, SO₂, C(=O), OC(=O), C(=O)O, O-C(=O)O, C(=O)N(R_z), N(R_z)C(=O), N(R_z)SO₂, SO₂N(R_z), N(R_z), OPO₂(OR_z) or PO₂(OR_z);

A is a bond or C₁-C₈alkyl substituted with from 0 to 3 substituents independently selected from R_b; and

R_y and R_z, if present, are:

(a) independently:

(i) hydrogen or -COOH; or

(ii) C₁-C₈alkyl, C₂-C₈alkenyl, C₂-C₈alkynyl, C₂-C₈alkanone, C₂-C₈alkyl ether, a 4- to 10-membered carbocycle or heterocycle, or joined to R_c to form a 4- to 10-membered carbocycle or heterocycle, each of which is substituted with from 0 to 6 substituents independently chosen from R_b; or

(b) joined to form a 4- to 10-membered carbocycle or heterocycle that is substituted with from 0 to 6 substituents independently selected from R_b;

Ar₁ and Ar₂ are independently selected from 5- to 10-membered carbocycles and heterocycles, each of which is substituted with from 0 to 3 substituents independently selected from groups of the formula LR_a;

L is independently selected at each occurrence from a bond, O, S(O)_m, C(=O), OC(=O), C(=O)O, O-C(=O)O, N(R_x), C(=O)N(R_x), N(R_x)C(=O), N(R_x)S(O)_m, S(O)_mN(R_x) and N[S(O)_mR_x]S(O)_m; wherein m is independently selected at each occurrence from 0, 1 and 2; and R_x is independently selected at each occurrence from hydrogen and C₁-C₈alkyl;

R_a is independently selected at each occurrence from:

- (i) hydrogen, halogen, cyano and nitro; and
- (ii) C₁-C₈alkyl, C₂-C₈alkenyl, C₂-C₈alkynyl, C₂-C₈alkyl ether, mono- and di-(C₁-C₈alkyl)amino and (3- to 10-membered heterocycle)C₀-C₆alkyl, each of which is substituted with from 0 to 6 substituents independently selected from R_b; and

R_b is independently chosen at each occurrence from:

- (i) hydroxy, halogen, amino, aminocarbonyl, cyano, nitro, oxo and -COOH; and
- (ii) C₁-C₈alkyl, C₁-C₈alkenyl, C₁-C₈alkynyl, C₁-C₈alkoxy, C₁-C₈alkanoyl, C₂-C₈alkoxycarbonyl, C₂-C₈alkanoyloxy, C₁-C₈alkylthio, C₂-C₈alkyl ether, phenylC₀-C₈alkyl, phenylC₁-C₈alkoxy, mono- and di-(C₁-C₆alkyl)amino, (SO₂)C₁-C₈alkyl, (4- to 7-membered heterocycle)C₀-C₈alkyl, -PO₃(R_w)₂ and -OPO₃(R_w)₂, wherein each R_w is independently chosen from hydrogen, C₁-C₈alkyl, phenylC₀-C₈alkyl and (5- to 7-membered heterocycle)C₀-C₈alkyl;

wherein each of (ii) is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, aminocarbonyl, cyano, nitro, oxo, -COOH, C₁-C₈alkyl, C₁-C₈alkoxy, C₁-C₈alkoxycarbonyl, C₂-C₈alkanoyloxy, C₁-C₈alkylthio, C₁-C₈alkyl ether, hydroxyC₁-C₈alkyl, haloC₁-C₈alkyl, phenylC₀-C₈alkyl, mono- and di-(C₁-C₆alkyl)amino, (SO₂)C₁-C₈alkyl and (5- to 7-membered heterocycle)C₀-C₈alkyl; and

wherein the compound or pharmaceutically acceptable form thereof comprises at least one carboxylic acid, phosphate or phosphonate group.

2. A compound or pharmaceutically acceptable form thereof according to claim 1, wherein U is C-R₂.

3. A compound or pharmaceutically acceptable form thereof according to claim 2, wherein X and V are N.

4. A compound or pharmaceutically acceptable form thereof according to claim 2, wherein V is N and X is CH.
5. A compound or pharmaceutically acceptable form thereof according to claim 2, wherein X is N and V is CH.
6. A compound or pharmaceutically acceptable form thereof according to any one of claims 1-5, wherein Y is N and W and Z are each CH.
7. A compound or pharmaceutically acceptable form thereof according to any one of claims 1-5, wherein Z is N and W and Y are each CH.
8. A compound or pharmaceutically acceptable form thereof according to any one of claims 1-5, wherein W, Y and Z are each CH.
9. A compound or pharmaceutically acceptable form thereof according to any one of claims 2-8, wherein R₂ is a group of the formula -R_c-M-A-R_y, R_c is C₁-C₃alkyl, and R₂ comprises a carboxylic acid, phosphate or phosphonate group.
10. A compound or pharmaceutically acceptable form thereof according to claim 9, wherein R₂ comprises a carboxylic acid group.
11. A compound or pharmaceutically acceptable form thereof according to claim 10, wherein the carboxylic acid group is a substituent of a heterocyclic ring.
12. A compound or pharmaceutically acceptable form thereof according to claim 9, wherein R₂ comprises a phosphate or phosphonate group.
13. A compound or pharmaceutically acceptable form thereof according to any one of claims 1-12, wherein Ar₁ and Ar₂ are independently selected from phenyl and 6-membered aromatic heterocycles, each of which is substituted with 0, 1 or 2 substituents independently selected from groups of the formula LR_a.
14. A compound or pharmaceutically acceptable form thereof according to claim 13, wherein:
Ar₁ is phenyl or pyridyl, each of which is substituted with from 0 to 2 substituents independently selected from halogen, hydroxy, cyano, amino, nitro, mono- and di-(C₁-C₆alkyl)amino, C₁-C₆alkyl, haloC₁-C₆alkyl, C₁-C₆alkoxy and haloC₁-C₆alkoxy; and

Ar₂ is phenyl or pyridyl, each of which is substituted with from 0 to 2 substituents independently selected from halogen, hydroxy, cyano, amino, nitro, mono- and di-(C₁-C₆alkyl)amino, C₁-C₆alkyl, haloC₁-C₆alkyl, cyanoC₁-C₆alkyl, C₁-C₆alkoxy, haloC₁-C₆alkoxy, C₂-C₆alkyl ether, C₁-C₆alkanoyl, -(SO₂)R_d, -N(R_x)S(O)_mR_d, and -N[S(O)_mR_x]S(O)_mR_d; wherein m is 1 or 2, R_x is hydrogen or C₁-C₆alkyl, and R_d is C₁-C₆alkyl, haloC₁-C₆alkyl, amino, mono- or di-(C₁-C₆alkyl)amino or a 5- to 10-membered, N-linked heterocyclic group, each of which R_d is substituted with from 0 to 2 substituents independently chosen from halogen, hydroxy, cyano, amino, nitro, mono- and di-(C₁-C₆alkyl)amino, C₁-C₄alkyl, haloC₁-C₄alkyl, C₁-C₄alkoxy and haloC₁-C₄alkoxy.

15. A compound or pharmaceutically acceptable form thereof according to claim 13, wherein:

Ar₁ is pyridyl, unsubstituted or substituted with halogen, cyano, C₁-C₄alkyl or haloC₁-C₄alkyl; and

Ar₂ is phenyl or pyridyl, substituted with from 0 to 2 substituents independently chosen from halogen, C₁-C₄alkyl, cyanoC₁-C₄alkyl, haloC₁-C₄alkyl, C₂-C₆alkyl ether and groups of the formula -(SO₂)R_d, wherein R_d is C₁-C₄alkyl or haloC₁-C₄alkyl.

16. A compound or pharmaceutically acceptable form thereof according to claim 13, wherein:

Ar₁ is phenyl, unsubstituted or substituted with halogen, cyano, C₁-C₄alkyl or haloC₁-C₄alkyl; and

Ar₂ is phenyl or pyridyl, substituted with from 0 to 2 substituents independently chosen from halogen, C₁-C₄alkyl, cyanoC₁-C₄alkyl, haloC₁-C₄alkyl, C₂-C₆alkyl ether and groups of the formula -(SO₂)R_d, wherein R_d is C₁-C₄alkyl or haloC₁-C₄alkyl.

17. A compound or pharmaceutically acceptable form thereof according to claim 13, wherein:

Ar₁ is pyridin-2-yl, 3-methyl-pyridin-2-yl, 3-trifluoromethyl-pyridin-2-yl or 3-halo-pyridin-2-yl; and

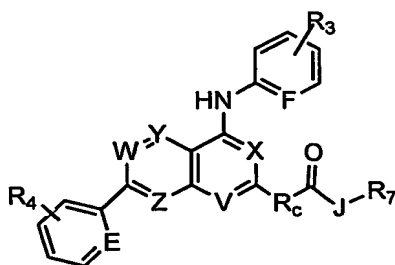
Ar₂ is phenyl, pyridin-2-yl or pyridin-3-yl, each of which is substituted at the *para*-position with halogen, cyano, methyl, ethyl, propyl, isopropyl, *t*-butyl, trifluoromethyl, 2,2,2-trifluoroethyl, 2,2,2-trifluoro-1-methyl-ethyl, methanesulfonyl, ethanesulfonyl, propanesulfonyl, propane-2-sulfonyl, trifluoromethanesulfonyl or 2,2,2-trifluoroethanesulfonyl.

18. A compound or pharmaceutically acceptable form thereof according to claim 13, wherein:

Ar₁ is phenyl, 2-methyl-phenyl, 2-trifluoromethyl-phenyl or 2-halo-phenyl; and

Ar₂ is phenyl, pyridin-2-yl or pyridin-3-yl, each of which is substituted at the *para*-position with halogen, cyano, methyl, ethyl, propyl, isopropyl, *t*-butyl, trifluoromethyl, 2,2,2-trifluoroethyl, 2,2,2-trifluoro-1-methyl-ethyl, methanesulfonyl, ethanesulfonyl, propanesulfonyl, propane-2-sulfonyl, trifluoromethanesulfonyl or 2,2,2-trifluoroethanesulfonyl.

19. A compound or pharmaceutically acceptable form thereof according to any one of claims 2-8, wherein the compound has the formula:



wherein:

R_c is C₀-C₂alkyl;

J is O or N(R₂);

R_z is:

(a) hydrogen;

(b) C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, C₂-C₆alkanone, C₂-C₆alkyl ether, or a 4- to 10-membered carbocycle or heterocycle, each of which is substituted with from 0 to 6 substituents independently chosen from halogen, hydroxy, cyano, amino, nitro, -COOH, aminocarbonyl, C₁-C₆alkyl, C₁-C₆alkoxy, C₂-C₈alkoxycarbonyl, C₂-C₈alkanoyloxy, C₁-C₈alkylthio, C₂-C₈alkyl ether, and mono- and di-(C₁-C₆alkyl)amino; or

(c) joined to R₇ to form a 5- to 7-membered carbocycle or heterocycle that is substituted with from 0 to 6 substituents independently selected from halogen, hydroxy, cyano, amino, nitro, -COOH, aminocarbonyl, C₁-C₆alkyl, C₁-C₆alkoxy, C₂-C₈alkoxycarbonyl, C₂-C₈alkanoyloxy, C₁-C₈alkylthio, C₂-C₈alkyl ether, and mono- and di-(C₁-C₆alkyl)amino;

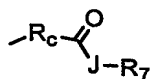
E and F are independently CH or N;

R_3 represents from 0 to 2 substituents independently chosen from halogen, cyano, $-\text{COOH}$, $\text{C}_1\text{-C}_6\text{alkyl}$, $\text{haloC}_1\text{-C}_6\text{alkyl}$, $\text{hydroxyC}_1\text{-C}_6\text{alkyl}$, $\text{C}_2\text{-C}_6\text{alkyl ether}$, $\text{C}_1\text{-C}_6\text{alkanoyl}$, aminosulfonyl, mono- and di- $(\text{C}_1\text{-C}_8\text{alkyl})\text{aminosulfonyl}$, $(\text{C}_1\text{-C}_8\text{alkyl})\text{sulfonyl}$, amino, and mono- and di- $(\text{C}_1\text{-C}_6\text{alkyl})\text{amino}$;

R_4 represents from 0 to 2 substituents independently chosen from halogen, cyano, $\text{C}_1\text{-C}_6\text{alkyl}$, $\text{haloC}_1\text{-C}_6\text{alkyl}$, amino, mono- and di- $(\text{C}_1\text{-C}_6\text{alkyl})\text{amino}$, aminosulfonyl, and mono- and di- $(\text{C}_1\text{-C}_8\text{alkyl})\text{aminosulfonyl}$; and

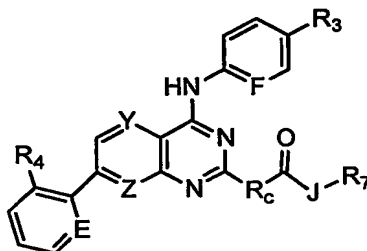
R_7 is:

- (i) hydrogen;
 - (ii) $\text{C}_1\text{-C}_6\text{alkyl}$, phenyl or 5- to 7-membered heterocycle, each of which is substituted with from 0 to 3 substituents independently chosen from halogen, hydroxy, cyano, amino, nitro, $-\text{COOH}$, aminocarbonyl, $\text{C}_1\text{-C}_6\text{alkyl}$, $\text{C}_1\text{-C}_6\text{alkoxy}$, $\text{C}_1\text{-C}_8\text{alkoxycarbonyl}$, $\text{C}_2\text{-C}_8\text{alkanoyloxy}$, $\text{C}_1\text{-C}_8\text{alkylthio}$, $\text{C}_1\text{-C}_8\text{alkyl ether}$, mono- and di- $(\text{C}_1\text{-C}_6\text{alkyl})\text{amino}$; or
 - (iii) joined to R_z to form an optionally substituted 5- to 7-membered heterocycle; and
- wherein the group designated:



comprises at least one carboxylic acid group.

20. A compound or pharmaceutically acceptable form thereof according to claim 19, wherein the compound has the formula:



wherein:

Y and Z are independently CH or N;

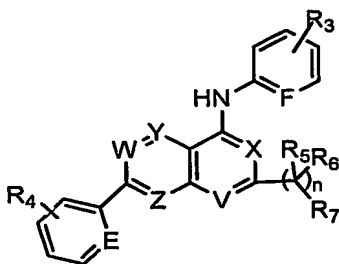
R_3 is halogen, cyano, $-\text{COOH}$, $\text{C}_1\text{-C}_6\text{alkyl}$, $\text{haloC}_1\text{-C}_6\text{alkyl}$, amino, or mono- or di- $(\text{C}_1\text{-C}_6\text{alkyl})\text{amino}$;

R_4 is halogen, cyano, $\text{C}_1\text{-C}_6\text{alkyl}$, $\text{haloC}_1\text{-C}_6\text{alkyl}$, amino, or mono- or di- $(\text{C}_1\text{-C}_6\text{alkyl})\text{amino}$; and

R_7 is (i) hydrogen; (ii) $\text{C}_1\text{-C}_6\text{alkyl}$ substituted with from 0 to 3 substituents independently chosen from halogen, hydroxy, amino, $-\text{COOH}$, $\text{C}_1\text{-C}_6\text{alkoxy}$, and mono- and di- $(\text{C}_1\text{-C}_6\text{alkyl})\text{amino}$;

C₆alkyl)amino; or (iii) joined to R_z to form an optionally substituted 5- to 7-membered heterocycle.

21. A compound or pharmaceutically acceptable form thereof according to claim 20, wherein J is O.
22. A compound or pharmaceutically acceptable form thereof according to claim 21, wherein R₇ is hydrogen.
23. A compound or pharmaceutically acceptable form thereof according to claim 20, wherein J is NH.
24. A compound or pharmaceutically acceptable form thereof according to any one of claims 2-8, wherein the compound has the formula:



wherein:

E and F are independently CH or N;

R₃ represents from 0 to 2 substituents independently chosen from halogen, cyano, -COOH, C₁-C₆alkyl, haloC₁-C₆alkyl, hydroxyC₁-C₆alkyl, C₂-C₆alkyl ether, C₁-C₆alkanoyl, aminosulfonyl, mono- and di-(C₁-C₈alkyl)aminosulfonyl, (C₁-C₈alkyl)sulfonyl, amino, and mono- and di-(C₁-C₆alkyl)amino;

R₄ represents from 0 to 2 substituents independently chosen from halogen, cyano, C₁-C₆alkyl, haloC₁-C₆alkyl, amino, mono- and di-(C₁-C₆alkyl)amino, aminosulfonyl, and mono- and di-(C₁-C₈alkyl)aminosulfonyl;

each R₅ and R₆ is independently selected from hydrogen, hydroxy and C₁-C₈alkyl substituted with from 0 to 2 substituents independently selected from R_d;

R₇ is:

(i) -COOH; or

(ii) C₂-C₈alkoxycarbonyl, C₂-C₈alkanoyloxy, C₁-C₈alkoxy, mono- or di-(C₁-C₈alkyl)amino, or a 5- to 7-membered heterocycle, each of which is substituted with from 0 to 3 substituents independently chosen from R_d; or

(iii) $-\text{PO}_3(\text{R}_w)_2$ or $-\text{OPO}_3(\text{R}_w)_2$, wherein each R_w is independently chosen from:

(a) hydrogen; and

(b) C_1 - C_8 alkyl, phenyl C_0 - C_8 alkyl and (5- to 7-membered heterocycle) C_0 - C_8 alkyl each of which is substituted with from 0 to 3 substituents independently chosen from R_d ;

n is 0, 1, 2 or 3; and

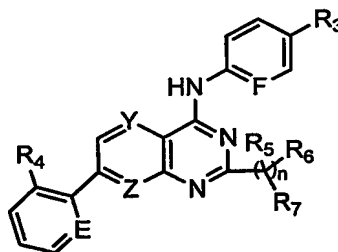
each R_d is independently chosen from:

(i) halogen, hydroxy, cyano, amino, nitro, $-\text{COOH}$; and

(ii) C_1 - C_4 alkyl, C_1 - C_4 alkenyl, C_1 - C_4 alkynyl, C_1 - C_4 alkoxy, C_1 - C_4 alkanoyl, C_2 - C_4 alkoxycarbonyl, C_2 - C_8 alkanoyloxy, C_1 - C_4 alkylthio, C_2 - C_4 alkyl ether, and mono- and di- $(\text{C}_1$ - C_4 alkyl)amino, each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino and $-\text{COOH}$; and

wherein R_7 is a carboxylic acid, phosphate or phosphonate group or at least one of R_5 , R_6 or R_7 comprises at least one substituent selected from a carboxylic acid, phosphate or phosphonate group.

25. A compound or pharmaceutically acceptable form thereof according to claim 24, wherein the compound has the formula:



wherein:

Y and Z are independently CH or N ;

R_3 is halogen, cyano, $-\text{COOH}$, C_1 - C_6 alkyl, halo C_1 - C_6 alkyl, amino, or mono- or di- $(\text{C}_1$ - C_6 alkyl)amino;

R_4 is halogen, cyano, C_1 - C_6 alkyl, halo C_1 - C_6 alkyl, amino, or mono- or di- $(\text{C}_1$ - C_6 alkyl)amino; each R_5 and R_6 is independently hydrogen or methyl; and

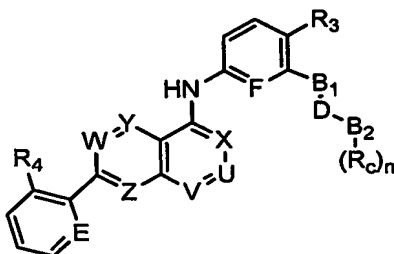
R_7 is:

(i) $-\text{COOH}$;

(ii) C_1 - C_8 alkoxy, C_1 - C_8 alkoxycarbonyl, pyrrolidine, piperidine, piperazine or morpholine, each of which is substituted with from 1 to 3 substituents independently chosen from R_d , wherein at least one occurrence of R_d is a carboxylic acid group; or

(iii) $-\text{PO}_3(\text{R}_w)_2$ or $-\text{OPO}_3(\text{R}_w)_2$.

26. A compound or pharmaceutically acceptable form thereof according to any one of claims 2-8, wherein the compound has the formula:



wherein:

E and F are independently CH or N;

R₃ represents from 0 to 2 substituents independently chosen from halogen, cyano, $-\text{COOH}$, C₁-C₆alkyl, haloC₁-C₆alkyl, hydroxyC₁-C₆alkyl, C₂-C₆alkyl ether, C₁-C₆alkanoyl, aminosulfonyl, mono- and di-(C₁-C₈alkyl)aminosulfonyl, (C₁-C₈alkyl)sulfonyl, amino, and mono- and di-(C₁-C₆alkyl)amino;

R₄ represents from 0 to 2 substituents independently chosen from halogen, cyano, C₁-C₆alkyl, haloC₁-C₆alkyl, amino, mono- and di-(C₁-C₆alkyl)amino, aminosulfonyl, and mono- and di-(C₁-C₈alkyl)aminosulfonyl;

B₁ is O, NH or S;

D is $-\text{C}(=\text{O})-$ or C₂-C₃alkyl, unsubstituted or substituted with a keto group; and

B₂ is:

(a) O or S; in which case n is 1, and R_c is hydrogen, PO₃H₂, PO₃H(alkyl), PO₃(alkyl)₂, C₁-C₆alkyl, or C₂-C₆alkyl ether, each of which alkyl moiety is substituted with from 0 to 3 substituents independently selected from R_d; or

(b) N, in which case n is 2, and

(i) R_c is independently chosen at each occurrence from hydrogen and C₁-C₆alkyl, C₁-C₆alkenyl, C₁-C₆alkynyl, each of which is substituted with from 0 to 3 substituents selected from R_d; or

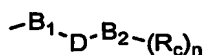
(ii) both R_c moieties are joined to form, with B₂, a 5- to 8-membered heterocycloalkyl that is substituted with from 0 to 3 substituents selected from R_d; and

each R_d is independently:

(i) halogen, hydroxy, cyano, amino, nitro, $-\text{COOH}$; and

- (ii) C₁-C₄alkyl, C₁-C₄alkenyl, C₁-C₄alkynyl, C₁-C₄alkoxy, C₁-C₄alkanoyl, C₂-C₄alkoxycarbonyl, C₂-C₈alkanoyloxy, C₁-C₄alkylthio, C₂-C₄alkyl ether, or mono- or di-(C₁-C₄alkyl)amino, each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino and -COOH; and

wherein the group designated:



comprises at least one carboxylic acid, phosphate or phosphonate group.

27. A compound according to claim 26, wherein;

B₁ is O; and

either:

- (i) D is -CH₂-CH₂- and -B₂-(R_c)_n is:

(a) -COOH, -O-PO₃H₂, or -PO₃H₂; or

(b) pyrrolidine, piperidine, piperazine or morpholine, each of which is substituted with -COOH; or

- (ii) D is -CH₂-C(=O)- and -B₂-(R_c)_n is:

(a) -OH; or

(b) pyrrolidine, piperidine, piperazine or morpholine, each of which is substituted with -COOH.

28. A compound or pharmaceutically acceptable form thereof according to claim 1, wherein the compound is listed in Table II.

29. A compound or pharmaceutically acceptable form thereof according to claim 1 wherein the compound has an IC₅₀ value of 100 nanomolar or less in a capsaicin receptor calcium mobilization assay.

30. A compound or pharmaceutically acceptable form thereof according to claim 1 wherein the compound has an IC₅₀ value of 10 nanomolar or less in a capsaicin receptor calcium mobilization assay.

31. A pharmaceutical composition, comprising at least one compound or pharmaceutically acceptable form thereof according to claim 1 in combination with a physiologically acceptable carrier or excipient.

32. A pharmaceutical composition according to claim 31 wherein the composition is formulated as an injectible fluid, an aerosol, a cream, a gel, a pill, a capsule, a syrup or a transdermal patch.

33. A method for reducing calcium conductance of a cellular capsaicin receptor, comprising contacting a cell expressing a capsaicin receptor with at least one compound or pharmaceutically acceptable form thereof according to claim 1, and thereby reducing calcium conductance of the capsaicin receptor.

34. A method according to claim 33, wherein the cell is a neuronal cell that is contacted *in vivo* in an animal.

35. A method according to claim 34, wherein during contact the compound is present within a body fluid of the animal.

36. A method according to claim 34, wherein the compound is present in the blood of the animal at a concentration of 1 micromolar or less.

37. A method according to claim 34, wherein the compound is present in the blood of the animal at a concentration of 500 nanomolar or less.

38. A method according to claim 34, wherein the compound is present in the blood of the animal at a concentration of 100 nanomolar or less.

39. A method according to claim 34, wherein the animal is a human.

40. A method according to claim 34, wherein the compound is administered orally.

41. A method for inhibiting binding of vanilloid ligand to a capsaicin receptor *in vitro*, the method comprising contacting capsaicin receptor with at least one compound or pharmaceutically acceptable form thereof according to claim 1, under conditions and in an amount sufficient to detectably inhibit vanilloid ligand binding to capsaicin receptor.

42. A method for inhibiting binding of vanilloid ligand to capsaicin receptor in a patient, comprising contacting cells expressing capsaicin receptor with at least one compound or pharmaceutically acceptable form thereof according to claim 1, in an amount sufficient to detectably inhibit vanilloid ligand binding to cells expressing a cloned capsaicin receptor *in*

vitro, and thereby inhibiting binding of vanilloid ligand to the capsaicin receptor in the patient.

43. A method according to claim 42, wherein the patient is a human.

44. A method according to claim 42, wherein the compound is present in the blood of the patient at a concentration of 1 micromolar or less.

45. A method for treating a condition responsive to capsaicin receptor modulation in a patient, comprising administering to the patient a capsaicin receptor modulatory amount of at least one compound or pharmaceutically acceptable form thereof according to claim 1, and thereby alleviating the condition in the patient.

46. A method according to claim 45, wherein the patient is suffering from (i) exposure to capsaicin, (ii) burn or irritation due to exposure to heat, (iii) burns or irritation due to exposure to light, (iv) burn, bronchoconstriction or irritation due to exposure to tear gas, air pollutants or pepper spray, or (v) burn or irritation due to exposure to acid.

47. A method according to claim 45, wherein the compound is present in the blood of the animal at a concentration of 1 micromolar or less.

48. A method according to claim 45, wherein the condition is asthma or chronic obstructive pulmonary disease.

49. A method for treating pain in a patient, comprising administering to a patient suffering from pain a capsaicin receptor modulatory amount of at least one compound or pharmaceutically acceptable form thereof according to claim 1, and thereby alleviating pain in the patient.

50. A method according to claim 49, wherein the compound is present in the blood of the animal at a concentration of 1 micromolar or less.

51. A method according to claim 49, wherein the compound is present in the blood of the animal at a concentration of 1 micromolar or less.

52. A method according to claim 49, wherein the compound is present in the blood of the animal at a concentration of 1 micromolar or less.

53. A method according to claim 49, wherein the patient is suffering from neuropathic pain.

54. A method according to claim 49, wherein the pain is associated with a condition selected from: postmastectomy pain syndrome, stump pain, phantom limb pain, oral neuropathic pain, toothache, postherpetic neuralgia, diabetic neuropathy, reflex sympathetic dystrophy, trigeminal neuralgia, osteoarthritis, rheumatoid arthritis, fibromyalgia, Guillain-Barre syndrome, meralgia paresthetica, burning-mouth syndrome, bilateral peripheral neuropathy, causalgia, neuritis, neuronitis, neuralgia, AIDS-related neuropathy, MS-related neuropathy, spinal cord injury-related pain, surgery-related pain, musculoskeletal pain, back pain, headache, migraine, angina, labor, hemorrhoids, dyspepsia, Charcot's pains, intestinal gas, menstruation, cancer, venom exposure, irritable bowel syndrome, inflammatory bowel disease, and/or trauma.

55. A method according to claim 49, wherein the patient is a human.

56. A method for treating itch in a patient, comprising administering to a patient a capsaicin receptor modulatory amount of a compound or pharmaceutically acceptable form thereof according to claim 1, and thereby alleviating itch in the patient.

57. A method for treating cough or hiccup in a patient, comprising administering to a patient a capsaicin receptor modulatory amount of a compound or pharmaceutically acceptable form thereof according to claim 1, and thereby alleviating cough or hiccup in the patient.

58. A method for treating urinary incontinence in a patient, comprising administering to a patient a capsaicin receptor modulatory amount of a compound or pharmaceutically acceptable form thereof according to claim 1, and thereby alleviating urinary incontinence in the patient.

59. A method promoting weight loss in an obese patient, comprising administering to a patient a capsaicin receptor modulatory amount of a compound or pharmaceutically acceptable form thereof according to claim 1, and thereby promoting weight loss in the patient.

60. A compound or pharmaceutically acceptable form thereof according to claim 1, wherein the compound or pharmaceutically acceptable form thereof is radiolabeled.

61. A method for determining the presence or absence of capsaicin receptor in a sample, comprising the steps of:

- (a) contacting a sample with a compound or pharmaceutically acceptable form thereof according to claim 1, under conditions that permit binding of the compound to capsaicin receptor; and
- (b) detecting a level of the compound bound to capsaicin receptor, and therefrom determining the presence or absence of capsaicin receptor in the sample.

62. A method according to claim 61, wherein the compound is a radiolabeled compound according to claim 60, and wherein the step of detection comprises the steps of:

- (i) separating unbound compound from bound compound; and
- (ii) detecting the presence or absence of bound compound in the sample.

63. A packaged pharmaceutical preparation, comprising:

- (a) a pharmaceutical composition according to claim 1 in a container; and
- (b) instructions for using the composition to treat pain.

64. A packaged pharmaceutical preparation, comprising:

- (a) a pharmaceutical composition according to claim 1 in a container; and
- (b) instructions for using the composition to treat cough or hiccup.

65. A packaged pharmaceutical preparation, comprising:

- (a) a pharmaceutical composition according to claim 1 in a container; and
- (b) instructions for using the composition to treat urinary incontinence.

66. A packaged pharmaceutical preparation, comprising:

- (a) a pharmaceutical composition according to claim 1 in a container; and
- (b) instructions for using the composition to treat obesity.

67. Use of a compound according to claim 1 as a medicament for the treatment of a patient suffering from a condition responsive to capsaicin receptor modulation.

68. Use of a compound according to claim 1 as a medicament for the treatment of a patient suffering from a condition responsive to capsaicin receptor modulation selected from (i) exposure to capsaicin, (ii) burn or irritation due to exposure to heat, (iii) burns or irritation due to exposure to light, (iv) burn, bronchoconstriction or irritation due to exposure to tear gas, air pollutants or pepper spray, or (v) burn or irritation due to exposure to acid.

69. Use of a compound according to claim 1 as a medicament for the treatment of a patient suffering from to pain.

70. Use of a compound according to claim 1 as a medicament for the treatment of a patient suffering from neuropathic pain associated with a condition selected from: postmastectomy pain syndrome, stump pain, phantom limb pain, oral neuropathic pain, toothache, postherpetic neuralgia, diabetic neuropathy, reflex sympathetic dystrophy, trigeminal neuralgia, osteoarthritis, rheumatoid arthritis, fibromyalgia, Guillain-Barre syndrome, meralgia paresthetica, burning-mouth syndrome, bilateral peripheral neuropathy, causalgia, neuritis, neuronitis, neuralgia, AIDS-related neuropathy, MS-related neuropathy, spinal cord injury-related pain, surgery-related pain, musculoskeletal pain, back pain, headache, migraine, angina, labor, hemorrhoids, dyspepsia, Charcot's pains, intestinal gas, menstruation, cancer, venom exposure, irritable bowel syndrome, inflammatory bowel disease and trauma.

71. Use of a compound according to claim 1 as a medicament for the treatment of a patient suffering from or susceptible to an itch, cough or hiccup.

72. Use of a compound according to claim 1 as a medicament for the treatment of a patient suffering from or susceptible to urinary incontinence.

73. Use of a compound according to claim 1 as a medicament for the promotion of weight loss in an obese patient.